

Application No.: 10/804,915

Attorney Docket No. A-846 (US)

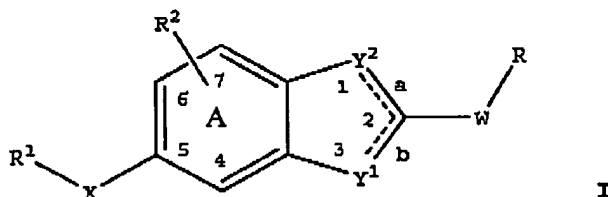
**AMENDMENTS**

This listing of claims replaces all previous listings:

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1. (Currently amended) A compound of Formula I



wherein W and X are independently selected from O, S(O)<sub>n</sub> and NR<sup>4</sup>;

wherein Y<sup>1</sup> is N, and Y<sup>2</sup> is O, dashed line "a" is absent and dashed line "b" indicates a bond ~~are independently selected from O, S(O)<sub>n</sub>, N and NR<sup>4</sup>;~~

wherein ring A ~~is phenyl~~ ~~optionally contains a nitrogen atom~~ ~~independently at position 4, 6 or 7;~~

wherein n is ~~0, 1 or 2;~~

wherein R is selected from

- substituted or unsubstituted 6-10 membered aryl,
- substituted or unsubstituted 5-6 membered heterocyclyl,
- substituted or unsubstituted 9-14 membered fused heterocyclyl,
- substituted or unsubstituted cycloalkyl, and
- substituted or unsubstituted cycloalkenyl,

wherein substituted R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -C(O)NR<sup>3</sup>R<sup>3</sup>, -C(O)R<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, oxo, -OC(O)R<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, -NR<sup>3</sup>C(O)NR<sup>3</sup>R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, and lower alkyl substituted with R<sup>5</sup>;

wherein R<sup>1</sup> is selected from

- substituted or unsubstituted 6-10 membered aryl,
- substituted or unsubstituted 4-6 membered heterocyclyl,
- substituted or unsubstituted 9-14 membered fused heterocyclyl,
- substituted or unsubstituted arylalkyl, and

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e) substituted or unsubstituted heterocyclalkyl,

where substituted R<sup>1</sup> is substituted with one or more substituents selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -C(O)NR<sup>3</sup>R<sup>3</sup>, -C(O)R<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted 3-6 membered heterocyclalkyl, optionally substituted phenyl, alkylaminoalkoxyalkoxy, nitro, cyano, oxo, lower alkyl substituted with R<sup>5</sup>;

wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -C(O)NR<sup>3</sup>R<sup>3</sup>, -C(O)R<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, -NR<sup>3</sup>C(O)NR<sup>3</sup>R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclalkyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, lower alkyl substituted with R<sup>5</sup>, lower alkenyl substituted with R<sup>5</sup>, and lower alkynyl substituted with R<sup>5</sup>;

wherein R<sup>3</sup> is independently selected from H, lower alkyl, lower aminoalkyl, lower alkylaminoalkyl, optionally substituted phenyl, optionally substituted 3-6 membered heterocyclalkyl, optionally substituted C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, optionally substituted phenylalkyl, optionally substituted 3-6 membered heterocyclalkyl, optionally substituted C<sub>3</sub>-C<sub>6</sub> cycloalkylalkyl, and lower haloalkyl;

wherein R<sup>4</sup> is independently selected from H, and lower alkyl; and

wherein R<sup>5</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -C(O)NR<sup>3</sup>R<sup>3</sup>, -C(O)R<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, -NR<sup>3</sup>C(O)NR<sup>3</sup>R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclalkyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, lower alkyl, lower alkenyl and lower alkynyl;

and enantiomers, diastereomers, pharmaceutically acceptable

derivatives salts and solvates thereof;

~~provided one of Y<sup>1</sup> and Y<sup>2</sup> is N or NH,~~

~~further provided only one of dashed lines a and b indicates a double bond,~~

~~further provided either X or W is not S(O)<sub>n</sub> when Y<sup>2</sup> is S and Y<sup>1</sup> is N,~~

~~further provided R<sup>1</sup> is not 2-HO<sub>2</sub>C phenyl, 1H pyrrole 2,5 dione or benzothiazole when Y<sup>2</sup> is S and Y<sup>1</sup> is N,~~

~~further provided either R or R<sup>1</sup> is not substituted isoindolone when Y<sup>2</sup> is S and Y<sup>1</sup> is N,~~

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~~further provided R<sup>1</sup> is not benzyl when X is O, W is NH, Y<sup>2</sup> is O, Y<sup>1</sup> is N and R is 4-(diethylaminoethoxy)phenyl;~~  
~~further provided R<sup>3</sup> is not benzyl when Y<sup>2</sup> is NH, Y<sup>1</sup> is N and R is 5-(2-chloro-6-methylphenyl)-NHC(-O)-thiazol-2-yl or benzyl;~~  
~~further provided X and W are not both S(O)<sub>n</sub> when Y<sup>2</sup> is NH and Y<sup>1</sup> is N;~~  
~~further provided R<sup>3</sup> is not piperidinyl when X and W are NH, Y<sup>2</sup> is NH, Y<sup>1</sup> is N, R and R<sup>2</sup> are optically substituted phenyl and ring A has nitrogens at positions 4 and 6;~~  
~~and further provided R, R<sup>b</sup> and R<sup>a</sup> are not all pyridyl or all triazolyl when Y<sup>2</sup> is NH, Y<sup>1</sup> is N and ring A has nitrogens at positions 4 and 6.~~

2. (Original) Compound of Claim 1 wherein W and X are independently selected from O and NR<sup>4</sup>.

3. (Original) Compound of Claim 1 wherein W is O or NH.

4. (Original) Compound of Claim 1 wherein X is O or NH.

5. (Original) Compound of Claim 1 wherein W is NH.

6. (Canceled)

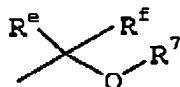
7. (Canceled)

8. (Original) Compound of Claim 1 wherein R is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C<sub>3-6</sub>-cycloalkyl, and substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl; wherein substituted R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, oxo, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -C(O)NR<sup>3</sup>R<sup>3</sup>, -C(O)R<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -NH(C<sub>1</sub>-C<sub>4</sub> alkylene)R<sup>3</sup>, -(C<sub>1</sub>-C<sub>4</sub> alkylene)NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, amino-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylamino-

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C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>6</sub>-alkoxy, optionally substituted 5-6 membered heterocyclylcarbonylalkyl, C<sub>1-4</sub>-alkoxycarbonylamino-C<sub>1-6</sub>-alkyl,



, optionally substituted C<sub>4-6</sub>-cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C<sub>1-6</sub>-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C<sub>1</sub>-C<sub>6</sub>-alkylenyl, 5-6 membered heterocyclyl-C<sub>2</sub>-C<sub>6</sub>-alkenylenyl, C<sub>1-4</sub>-alkyl, cyano, C<sub>1-4</sub>-hydroxyalkyl, nitro and C<sub>1-4</sub>-haloalkyl; wherein R<sup>e</sup> and R<sup>f</sup> are independently selected from H and C<sub>1-2</sub>-haloalkyl; wherein R<sup>7</sup> is selected from H, C<sub>1-3</sub>-alkyl, optionally substituted phenyl-C<sub>1-3</sub>-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl and C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl.

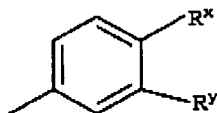
9. (Original) Compound of Claim 1 wherein R is a substituted or unsubstituted ring selected from phenyl, indanyl, tetrahydronaphthyl, naphthyl, cyclohexyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 2-oxo-1,2-dihydroquinol-7-yl, 1-oxo-1,2,3,4-tetrahydro-isoquinolyl, 2,3-dihydro-1,1-dioxo-benzo[d]isothiazolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, 2,3-dihydro-benzofuryl, benzodioxolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, 1,2,3,4-tetrahydro-isoquinolyl, tetrahydroquinolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R is substituted with 1-3 substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, hydroxy, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, methylpiperazinylmethyl, isopropyl-piperazinylmethyl, methylpiperazinylpropyl, morpholinylpropyl, methylpiperidinylmethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidinylethyl, piperidinylmethyl, piperidinylpropyl, 1-methylpyrrolidinylmethyl, pyrrolidinylpropyl,

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methylsulfonyl, methylcarbonyl, piperidinylmethylcarbonyl, methylpiperazinylcarbonylethyl, methoxycarbonyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, hydroxybutyl, difluoromethoxy, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminopropyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, 1-methylpiperidin-4-yloxy, piperidin-4-yloxy, piperidinylethoxy, morpholinylethyloxy, 4-methylpiperazinylethoxy, 4-isopropylpiperazinylethoxy, piperidin-4-methoxy, 4-methylpiperidin-1-ylmethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 1-methylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, isopropoxy, methoxy and ethoxy.

10. (Original) Compound of Claim 1 wherein R is



wherein R\* is selected from bromo, chloro, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, trifluoromethoxy, difluoromethoxy, isopropoxy, methoxy and ethoxy; and wherein RY is selected from 4-methylpiperazinylsulfonyl, morpholinylmethyl, 4-methylpiperazinylmethyl, 4-methylpiperazinylpropyl, 4-isopropylpiperazinylmethyl, 4-methylpiperidinylmethyl, 4-aminopiperidinylmethyl, 4-methylamino-piperidinylmethyl, 4-dimethylamino-piperidinylmethyl, 3-dimethylaminopyrrolidin-1-ylmethyl, 1-methylpyrrolidin-2-ylmethyl, dimethylaminoethyl, dimethylaminoethoxy, piperidinylethoxy,

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morpholinylethoxy, 4-methylpiperazinylethoxy, 4-isopropylpiperazinylmethoxy, piperdin-4-methoxy, 4-methylpiperdin-1-ylmethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-methylpyrrolidin-3-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, 2-(N,N-dimethylamino)acetyl amino and 2-(N,N-dimethylamino)ethyl amino.

11. (Currently amended) Compound of Claim 1 wherein  $R^1$  is selected from

substituted or unsubstituted 5-6 membered heteroaryl comprising containing one or more nitrogen atoms,

substituted phenyl, and

substituted or unsubstituted 9-10 membered bicyclic or 13-14 membered tricyclic heterocyclyl;

wherein substituted  $R^1$  is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-SO_2R^3$ ,  $-CO_2R^3$ ,  $-C(O)NR^3R^3$ ,  $-C(O)R^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, nitro, cyano,  $C_{1-4}$ -alkylamino- $C_{1-4}$ -alkoxy, and  $C_{1-4}$ -alkyl substituted with  $R^5$ .

12. (Original) Compound of Claim 1 wherein  $R^1$  is a substituted or unsubstituted ring selected from pyrazolyl, triazolyl, pyridyl, pyrimidinyl, triazinyl, pyridazinyl, substituted phenyl, indazolyl, indolyl, isoindolyl, quinolinyl, isoquinolinyl, benzotriazolyl, benzo[1,3]dioxolyl, , pyrrolo[2,3-d]pyrimidin-4-yl, 2-oxo-1,3-dihydro-pyrrolo[2,3-d]pyridin-4-yl, pyrazolo[2,3-b]pyridin-4-yl, imidazo[4,5-b]pyridin-4-yl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinolyl, naphthyridinyl and quinazolinyl; wherein substituted  $R^1$  is substituted with one or more substituents independently selected from halo, hydroxy,  $C_{1-2}$ -alkyl,  $C_{1-2}$ -alkoxy,  $C_{1-2}$ -alkoxy- $C_{1-2}$ -alkoxy, optionally substituted 5-6 membered heterocyclyl- $C_{1-2}$ -alkoxy, amino,  $C_{1-2}$ -alkylamino, aminosulfonyl,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, nitro, cyano,  $C_{1-2}$ -alkylamino- $C_{1-2}$ -alkoxy,  $C_{1-2}$ -alkylamino- $C_{1-2}$ -alkyl,  $C_{1-2}$ -

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alkylamino-C<sub>2-3</sub>-alkylamino, C<sub>1-2</sub>-hydroxyalkyl, C<sub>1-2</sub>-aminoalkyl, and C<sub>1-2</sub>-haloalkyl.

13. (Original) Compound of Claim 1 wherein R<sup>1</sup> is a substituted or unsubstituted ring selected from 4-pyridyl, triazolyl, 4-pyrimidinyl, 4-pyridazinyl, phenyl, 5-indazolyl, 4-quinolyl, indolyl, isoindolyl, benzotriazolyl, benzo[1,3]dioxolyl, pyrrolo[2,3-d]pyrimidin-4-yl, 2-oxo-1,3-dihydro-pyrrolo[2,3-d]pyridin-4-yl, pyrazolo[2,3-b]pyridin-4-yl, imidazo[4,5-b]pyridin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, and 4-quinozalinyll; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, methoxyethoxy, amino, methylamino, ethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, dimethylaminoethoxy, piperidinylmethoxy, piperdin-1-ylethoxy, morpholinoethoxy, pyrrolidin-1-ylethoxy, 4-methylpiperazin-1-ylethoxy, dimethylaminoethylamino, dimethylaminopropylamino, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, aminocarbonyl, nitro, trifluoromethyl, optionally substituted piperidinyl, morpholinyl, optionally substituted piperazinyl, and optionally substituted phenyl.

14. (Original) Compound of Claim 1 wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, hydroxy, C<sub>1-2</sub>-alkoxy, C<sub>1-2</sub>-haloalkoxy, amino, C<sub>1-2</sub>-alkylamino, optionally substituted 5-6 membered heterocyclyl-C<sub>1-2</sub>-alkylamino, aminosulfonyl, C<sub>3-6</sub>-cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C<sub>1-4</sub>-alkyl, cyano, C<sub>1-2</sub>-hydroxyalkyl, C<sub>1-3</sub>-carboxyalkyl, nitro, C<sub>2-3</sub>-alkenyl, C<sub>2-3</sub>-alkynyl and C<sub>1-2</sub>-haloalkyl.

15. (Original) Compound of Claim 1 wherein R<sup>2</sup> is one or more substituents independently selected from H, chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, trifluoromethoxy, amino, dimethylamino, aminosulfonyl, carboxymethyl, cyclopropyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, nitro, propenyl,

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propynyl, trifluoromethyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl.

16. (Original) Compound of Claim 1 wherein R<sup>2</sup> is H; wherein R<sup>3</sup> is selected from H, C<sub>1-4</sub>-alkyl, phenyl, phenyl-C<sub>1-4</sub>-alkyl, 4-6 membered heterocyclyl, 4-6 membered heterocyclyl-C<sub>1-3</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl and C<sub>1-2</sub>-haloalkyl.

17. (Original) Compound of Claim 1 wherein R<sup>4</sup> is independently selected from H, C<sub>1-3</sub>-alkyl, phenyl, 5-6 membered heterocyclyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, and C<sub>1-3</sub>-haloalkyl.

18. (Currently amended) Compound of Claim 1 and pharmaceutically acceptable ~~derivatives~~ salts and solvates thereof selected from

~~4-{2-[3-(1-Methyl-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenylamino]-1H-benzimidazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;~~

~~4-{2-[3-(2-Dimethylamino-ethoxy)-4-trifluoromethyl-phenylamino]-1H-benzimidazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;~~

~~4-{2-[3-(1-Methyl-pyrrolidin-2-ylmethoxy)-4-trifluoromethyl-phenylamino]-1H-benzimidazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;~~

~~4-{2-[4-Chloro-3-(4-methyl-piperazin-1-ylmethyl)-phenylamino]-1-methyl-1H-benzimidazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;~~

~~{4-Chloro-3-(4-methyl-piperazin-1-ylmethyl)-phenyl}-[5-(quinolin-4-yloxy)-1H-benzimidazol-2-yl]-amine;~~

~~{3-(1-Methyl-pyrrolidin-2-ylmethoxy)-4-trifluoromethyl-phenyl}-[5-(quinolin-4-yloxy)-1H-benzimidazol-2-yl]-amine;~~

~~4-{2-[4-Chloro-3-(4-methyl-piperazin-1-ylmethyl)-phenylamino]-benzoxazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;~~

~~4-{2-[4-Chloro-3-(1-methyl-pyrrolidin-2-ylmethoxy)-phenylamino]-benzoxazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;~~

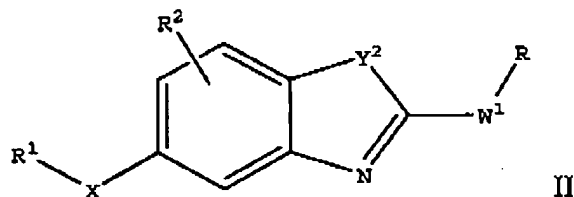


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[4-Chloro-3-(1-methyl-pyrrolidin-2-ylmethoxy)-phenyl]-[5-(quinolin-4-yloxy)-benzoxazol-2-yl]-amine;  
 [3-(1-Methyl-pyrrolidin-2-ylmethoxy)-4-trifluoromethyl-phenyl]-[5-(quinolin-4-yloxy)-benzoxazol-2-yl]-amine;  
 5-((6,7-bis(Methoxy)-4-quinolinyl)oxy)-N-(4-chloro-3-((4-methyl-1-piperazinyl)methyl)phenyl)-1,3-benzoxazol-2-amine;  
 N-(4-Chloro-3-((4-methyl-1-piperazinyl)methyl)phenyl)-5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)-1,3-benzoxazol-2-amine;  
 N-(4-Chloro-3-(((2S)-1-methyl-2-pyrrolidinyl)methyl)oxy)phenyl)-5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)-1,3-benzoxazol-2-amine; and  
 4-((2-((4-Chloro-3-(((2S)-1-methyl-2-pyrrolidinyl)methyl)oxy)phenyl)amino)-7-fluoro-1,3-benzoxazol-5-yl)oxy)-N-methyl-2-pyridinecarboxamide.

## 19. (Currently amended) Compound of Formula II



wherein  $W^1$  and X are independently O or NH;

wherein  $Y^2$  is O or  $NR^+$ ;

wherein n is 0, 1 or 2;

wherein R is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- b) substituted or unsubstituted 5-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-13 membered fused heterocyclyl,
- and
- d) substituted or unsubstituted cycloalkyl,

wherein substituted R is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-C(O)NR^3R^3$ ,  $-C(O)R^3$ ,  $-NR^3R^3$ ,  $-SO_2R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ ,  $-NR^3C(O)NR^3R^3$ , oxo,  $-OC(O)R^3$ , optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy,

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alkylaminoalkoxyalkoxy, nitro and lower alkyl substituted with  $R^6$ ;

wherein  $R^1$  is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl,
- b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl, and
- c) phenyl,

where substituted  $R^1$  is substituted with one or more substituents selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-SO_2R^3$ ,  $-CO_2R^3$ ,  $-C(O)NR^3R^3$ ,  $-C(O)R^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , optionally substituted 3-6 membered heterocyclyl, optionally substituted phenyl, nitro, cyano, oxo, and lower alkyl substituted with  $R^6$ ;

wherein  $R^2$  is one or more substituents independently selected from H, halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-C(O)NR^3R^3$ ,  $-C(O)R^3$ ,  $-NR^3R^3$ ,  $-SO_2R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ ,  $-NR^3C(O)NR^3R^3$ , optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, nitro, and lower alkyl substituted with  $R^6$ ;

wherein  $R^3$  is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 3-6 membered heterocyclyl, optionally substituted  $C_3$ - $C_6$ -cycloalkyl, optionally substituted phenylalkyl, optionally substituted 3-6 membered heterocyclylalkyl, optionally substituted  $C_3$ - $C_6$  cycloalkylalkyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein  $R^4$  is independently selected from H, and  $C_{1-2}$  alkyl; and

wherein  $R^6$  is one or more substituents independently selected from H, halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-CONR^3R^3$ ,  $-COR^3$ ,  $-NR^3R^3$ ,  $-SO_2R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ ,  $-NR^3C(O)NR^3R^3$ , optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy and nitro; enantiomers, diastereomers and pharmaceutically acceptable derivatives salts and solvates thereof.

20. (Original) Compound of Claim 19 wherein  $W^1$  is NH.

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21. (Original) Compound of Claim 19 wherein X is O.

22. (Withdrawn) Compound of Claim 19 wherein X is NH.

23. (Canceled)

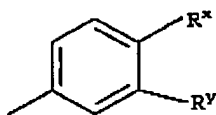
24. (Original) Compound of Claim 19 wherein R is a substituted or unsubstituted ring selected from phenyl, indanyl, tetrahydronaphthyl, naphthyl, cyclohexyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 2-oxo-1,2-dihydroquinol-7-yl, 1-oxo-1,2,3,4-tetrahydro-isoquinolyl, 2,3-dihydro-1,1-dioxo-benzo[d]isothiazolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, 2,3-dihydro-benzofuryl, benzodioxolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, 1,2,3,4-tetrahydro-isoquinolyl, tetrahydroquinolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R is substituted with 1-3 substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, hydroxy, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, methylpiperazinylmethyl, isopropylpiperazinylmethyl, methylpiperazinylpropyl, morpholinylpropyl, methylpiperidinylmethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidinylethyl, piperidinylmethyl, piperidinylpropyl, 1-methylpyrrolidinylmethyl, pyrrolidinylpropyl, methylsulfonyl, methylcarbonyl, piperidinylmethylcarbonyl, methylpiperazinylcarbonylethyl, methoxycarbonyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, hydroxybutyl, difluoromethoxy, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminopropyl, dimethylaminoethoxy, 4-

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chlorophenoxy, phenoxy, 1-methylpiperdin-4-yloxy, piperdin-4-yloxy, piperidinylethoxy, morpholinylethyloxy, 4-methylpiperazinylethoxy, 4-isopropylpiperazinylethoxy, piperdin-4-methoxy, 4-methylpiperdin-1-ylmethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 1-methylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, isopropoxy, methoxy and ethoxy.

25. (Original) Compound of Claim 19 wherein R is



wherein R<sup>x</sup> is selected from bromo, chloro, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, trifluoromethoxy, difluoromethoxy, isopropoxy, methoxy and ethoxy; and wherein R<sup>y</sup> is selected from 4-methylpiperazinylsulfonyl, morpholinylmethyl, 4-methylpiperazinylmethyl, 4-methylpiperazinylpropyl, 4-isopropylpiperazinylmethyl, 4-methylpiperidinylmethyl, 4-aminopiperidinylmethyl, 4-methylamino-piperidinylmethyl, 4-dimethylamino-piperidinylmethyl, 3-dimethylaminopyrrolidin-1-ylmethyl, 1-methylpyrrolidin-2-ylmethyl, dimethylaminoethyl, dimethylaminoethoxy, piperidinylethoxy, morpholinylethyloxy, 4-methylpiperazinylethoxy, 4-isopropylpiperazinylmethoxy, piperdin-4-methoxy, 4-methylpiperdin-1-ylmethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-methylpyrrolidin-3-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, 2-(N,N-dimethylamino)acetyl amino and 2-(N,N-dimethylamino)ethyl amino.

26. (Withdrawn) Compound of Claim 19 wherein R is substituted or unsubstituted 5-6 membered heterocyclyl.

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27. (Withdrawn) Compound of Claim 19 wherein R is substituted or unsubstituted 9-11 membered fused heterocyclyl.

28. (Withdrawn) Compound of Claim 19 wherein R<sup>1</sup> is selected from unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl.

29. (Withdrawn) Compound of Claim 19 wherein R<sup>1</sup> is selected from unsubstituted or substituted phenyl.

30. (Original) Compound of Claim 19 wherein R<sup>1</sup> is selected from unsubstituted or substituted 9- or 10-membered nitrogen-containing partially saturated heterocyclyl and unsubstituted or substituted 9- or 10-membered nitrogen-containing heteroaryl.

31. (Original) Compound of Claim 19 wherein R<sup>1</sup> is a substituted or unsubstituted ring selected from 4-pyridyl, triazolyl, 4-pyrimidinyl, 4-pyridazinyl, phenyl, 5-indazolyl, 4-quinolyl, indolyl, isoindolyl, benzotriazolyl, benzo[1,3]dioxolyl, pyrrolo[2,3-d]pyrimidin-4-yl, 2-oxo-1,3-dihydro-pyrrolo[2,3-d]pyridin-4-yl, pyrazolo[2,3-b]pyridin-4-yl, imidazo[4,5-b]pyridin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, and 4-quinozaliny; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, methoxyethoxy, amino, methylamino, ethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, dimethylaminoethoxy, piperidinylmethoxy, piperdin-1-ylethoxy, morpholinoethoxy, pyrrolidin-1-ylethoxy, 4-methylpiperazin-1-ylethoxy, dimethylaminoethylamino, dimethylaminopropylamino, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, aminocarbonyl, nitro, trifluoromethyl, optionally substituted piperidinyl, morpholinyl, optionally substituted piperazinyl, and optionally substituted phenyl.

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32. (Canceled)

33. (Currently amended) Compound of Claim 19 wherein  $W^1$  and X are independently O or NH;

~~wherein  $Y^2$  is O or NH;~~

wherein R is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- b) substituted or unsubstituted 5-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-13 membered fused heterocyclyl, and
- d) substituted or unsubstituted cycloalkyl,

wherein substituted R is substituted with one or more substituents independently selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-C(O)NR^3R^3$ ,  $-C(O)R^3$ ,  $-NR^3R^3$ ,  $-SO_2R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ ,  $-NR^3C(O)NR^3R^3$ , oxo,  $-OC(O)R^3$ , optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro and lower alkyl substituted with  $R^6$ ;

wherein  $R^1$  is selected from

- a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl,
- b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl, and
- c) phenyl,

where substituted  $R^1$  is substituted with one or more substituents selected from halo,  $-OR^3$ ,  $-SR^3$ ,  $-SO_2R^3$ ,  $-CO_2R^3$ ,  $-C(O)NR^3R^3$ ,  $-C(O)R^3$ ,  $-NR^3R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ , optionally substituted 3-6 membered heterocyclyl, optionally substituted phenyl, nitro, cyano, oxo, and lower alkyl substituted with  $R^6$ ;

wherein  $R^2$  is one or more substituents independently selected from H, halo,  $-OR^3$ ,  $-SR^3$ ,  $-CO_2R^3$ ,  $-C(O)NR^3R^3$ ,  $-C(O)R^3$ ,  $-NR^3R^3$ ,  $-SO_2R^3$ ,  $-SO_2NR^3R^3$ ,  $-NR^3C(O)OR^3$ ,  $-NR^3C(O)R^3$ ,  $-NR^3C(O)NR^3R^3$ , optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, nitro, and lower alkyl substituted with  $R^6$ ;

wherein  $R^3$  is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 3-6 membered

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heterocyclyl, optionally substituted C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, optionally substituted phenylalkyl, optionally substituted 3-6 membered heterocyclylalkyl, optionally substituted C<sub>3</sub>-C<sub>6</sub> cycloalkylalkyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl; wherein R<sup>4</sup> is independently selected from H, and C<sub>1-2</sub> alkyl; and wherein R<sup>5</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, -NR<sup>3</sup>C(O)NR<sup>3</sup>R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy and nitro; enantiomers, diastereomers and pharmaceutically acceptable derivatives salts and solvates thereof; ~~provided R<sup>1</sup> is not 5-((2-chloro-6-methylphenyl)aminocarbonyl)thiazol-2-yl when Y<sup>2</sup> is NH, W is NH and X is NH,~~ ~~further provided R<sup>1</sup> is not 2-(substituted aminocarbonyl)pyrid-4-yl when Y<sup>2</sup> is NH,~~ ~~further provided R<sup>1</sup> is not 2-(substituted aminocarbonyl)pyrid-4-yl when Y<sup>2</sup> is O and when R is phenyl or substituted phenyl.~~

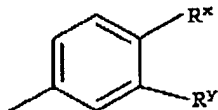
34. (Original) Compound of Claim 33 wherein R is a substituted or unsubstituted ring selected from phenyl, indanyl, tetrahydronaphthyl, naphthyl, cyclohexyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 2-oxo-1,2-dihydroquinol-7-yl, 1-oxo-1,2,3,4-tetrahydro-isoquinolyl, 2,3-dihydro-1,1-dioxo-benzo[d]isothiazolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, 2,3-dihydro-benzofuryl, benzodioxolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, 1,2,3,4-tetrahydro-isoquinolyl, tetrahydroquinolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R is substituted with 1-3 substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, hydroxy, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholin-4-ylmethyl, 4-methylpiperazin-1-ylmethyl, 4-isopropyl-

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piperazin-1-ylmethyl, 4-methylpiperazin-1-ylpropyl, morpholin-4-ylpropyl, methylpiperidinylmethyl, morpholin-4-ylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidinylethyl, piperidinylmethyl, piperidinylpropyl, 4-(dimethylaminoethyl)piperazin-1-ylmethyl, 1-methylpyrrolidinylmethyl, pyrrolidinylpropyl, methylsulfonyl, methylcarbonyl, piperidinylmethylcarbonyl, methylpiperazinylcarbonylethyl, methoxycarbonyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, hydroxybutyl, difluoromethoxy, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminopropyl, dimethylaminoethoxy, diethylaminoethoxy, 4-chlorophenoxy, phenoxy, 1-methylpiperdin-4-yloxy, piperdin-4-yloxy, piperidinylethoxy, morpholin-4-ylethyloxy, 4-methylpiperazin-1-ylethoxy, 4-isopropylpiperazinylethoxy, piperdin-4-ylmethoxy, 4-methylpiperdin-1-ylmethoxy, 1-methylpiperdin-4-ylmethoxy, 1-isopropylpiperdin-4-ylmethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 1-pyrrolidinylmethoxy, 1-pyrrolidinylethoxy, 1-methylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, 2-tetrahydrofurylmethoxy, isopropoxy, methoxy and ethoxy.

35. (Original) Compound of Claim 33 wherein R is



wherein R\* is selected from bromo, chloro, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, trifluoromethoxy, difluoromethoxy, isopropoxy, methoxy and ethoxy; and



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wherein R<sup>y</sup> is selected from H, 4-methylpiperazinylsulfonyl, trifluoromethyl, morpholinylmethyl, 4-methylpiperazinylmethyl, 3-dimethylaminopyrrolidin-1-ylmethyl, 4-methylpiperazinylpropyl, 4-isopropylpiperazinylmethyl, 4-methylpiperidinylmethyl, 4-aminopiperidinylmethyl, 4-methylamino-piperidinylmethyl, 4-dimethylamino-piperidinylmethyl, 1-methylpyrrolidin-2-ylmethyl, dimethylaminoethyl, dimethylaminoethoxy, piperidinylethoxy, morpholinylethoxy, 4-methylpiperazin-1-ylethoxy, 4-(dimethylaminoethyl)piperazin-1-ylmethyl, 4-isopropylpiperazinylmethoxy, piperdin-4-ylmethoxy, 4-methylpiperdin-1-ylmethoxy, 1-methylpiperdin-4-ylmethoxy, 1-isopropylpiperdin-4-ylmethoxy, 1-pyrrolidinylmethoxy, 1-pyrrolidinylethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-methylpyrrolidin-3-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, 2-tetrahydrofurylmethoxy, diethylaminoethoxy, 2-(N,N-dimethylamino)acetyl amino and 2-(N,N-dimethylamino)ethyl amino.

36. (Original) Compound of Claim 33 wherein R<sup>1</sup> is a substituted or unsubstituted ring selected from 4-pyridyl, triazolyl, 4-pyrimidinyl, 4-pyridazinyl, phenyl, 6-indazolyl, 4-quinolyl, indolyl, isoindolyl, benzotriazolyl, benzo[1,3]dioxolyl, pyrrolo[2,3-d]pyrimidin-4-yl, 2-oxo-1,3-dihydro-pyrrolo[2,3-d]pyridin-4-yl, pyrazolo[2,3-b]pyridin-4-yl, imidazo[4,5-b]pyridin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, and 4-quinazolinyl; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, methoxyethoxy, amino, methylamino, ethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, dimethylaminoethoxy, piperdinylmethoxy, piperdin-1-ylethoxy, morpholinoethoxy, pyrrolidin-1-ylethoxy, 4-methylpiperazin-1-ylethoxy, methylaminocarbonyl, 1-pyrrolidinylbutylaminocarbonyl, dimethylaminoethylamino, dimethylaminopropylamino, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, aminocarbonyl, nitro,

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trifluoromethyl, optionally substituted piperidinyl, morpholinyl, optionally substituted piperazinyl, and optionally substituted phenyl.

37. (Currently amended) Compound of Claim 33 wherein  $R^1$  is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl; enantiomers, diastereomers and pharmaceutically acceptable derivatives salts and solvates thereof.

38. (Currently amended) Compound of Claim 33 wherein  $R^1$  is a substituted or unsubstituted ring selected from 6-indazolyl, 4-quinolyl, pyrrolo[2,3-d]pyrimidin-4-yl, 2-oxo-1,3-dihydro-pyrrolo[2,3-d]pyridin-4-yl, pyrazolo[2,3-b]pyridin-4-yl, imidazo[4,5-b]pyridin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, 2-oxo-1,2-dihydroquinol-7-yl, and 4-quinazolinyl; enantiomers, diastereomers and pharmaceutically acceptable derivatives salts and solvates thereof.

39. (Withdrawn) Compound of Claim 33 wherein  $R^1$  is a substituted or unsubstituted pyrrolo[2,3-b]pyridin-4-yl; and pharmaceutically acceptable derivatives thereof.

40. (Currently amended) Compound of Claim 33 wherein  $R^1$  is a substituted or unsubstituted 4-quinolyl; enantiomers, diastereomers and pharmaceutically acceptable derivatives salts and solvates thereof.

41. (Withdrawn) Compound of Claim 33 wherein  $R^1$  is a substituted or unsubstituted 4-quinazolinyl; and pharmaceutically acceptable derivatives thereof.

42. (Withdrawn) Compound of Claim 33 wherein  $R^1$  is a substituted or unsubstituted pyrrolo[2,3-d]pyrimidin-4-yl; and pharmaceutically acceptable derivatives thereof.

43. (Original) Compound of Claim 33 wherein  $R^2$  is H or Cl.

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44. (Currently amended) Compound of Claim 1 and  
pharmaceutically acceptable ~~derivatives~~ salts and solvates thereof  
selected from

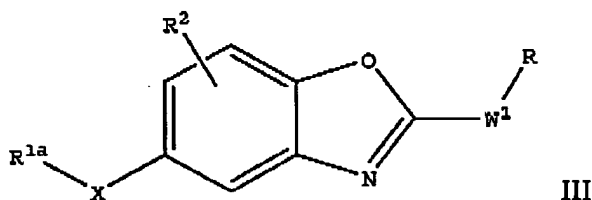
~~[4-Chloro-3-(4-methylpiperazin-1-ylmethyl)-phenyl]-[5-(6,7-~~  
~~dimethoxyquinolin-4-yloxy)-1H-benzimidazol-2-yl]-amine;~~  
[4-Chloro-3-((2S)-1-methylpyrrolidin-2-ylmethoxy)-phenyl]-[5-(2-  
methylamino-pyridin-4-yloxy)-benzoxazol-2-yl]-amine;  
4-{2-[4-Chloro-3-((2S)-1-methylpyrrolidin-2-ylmethoxy)-phenylamino]-  
benzoxazol-5-yloxy}-pyridine-2-carboxylic acid amide;  
4-{2-[4-Chloro-3-(1-methylpiperidin-4-ylmethoxy)-phenylamino]-  
benzoxazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;  
4-{2-[4-Chloro-3-(piperidin-4-ylmethoxy)-phenylamino]-benzoxazol-5-  
yloxy}-pyridine-2-carboxylic acid methylamide;  
4-{2-[4-Chloro-3-(1-isopropylpiperidin-4-ylmethoxy)-phenylamino]-  
benzoxazol-5-yloxy}-pyridine-2-carboxylic acid methylamide;  
4-[2-{4-Chloro-3-[4-(2-dimethylamino-ethyl)-piperazin-1-ylmethyl]-  
phenylamino]-benzoxazol-5-yloxy}-pyridine-2-carboxylic acid  
methylamide;  
~~4-[2-[4-Chloro-3-(2-diethylamino-ethoxy)-phenylamino]-~~  
4-{7-Chloro-2-[4-chloro-3-(4-methyl-piperazin-1-ylmethyl)-  
phenylamino]-benzoxazol-5-yloxy}-pyridine-2-carboxylic acid  
methylamide;  
4-{2-[4-Chloro-3-(2-dimethylamino-ethoxy)-phenylamino]-benzoxazol-5-  
yloxy}-pyridine-2-carboxylic acid methylamide;  
4-{2-[4-Chloro-3-((2S)-1-isopropyl-pyrrolidin-2-ylmethoxy)-  
phenylamino]-benzoxazol-5-yloxy}-pyridine-2-carboxylic acid  
methylamide;  
[4-Chloro-3-(4-methyl-piperazin-1-ylmethyl)-phenyl]-[7-chloro-5-  
(quinolin-4-yloxy)-benzoxazol-2-yl]-amine;  
[4-Chloro-3-(1-methylpyrrolidin-2-ylmethoxy)-phenyl]-[5-(6,7-  
dimethoxyquinolin-4-yloxy)-benzoxazol-2-yl]-amine;  
[4-Chloro-3-(1-methyl-pyrrolidin-2-ylmethoxy)-phenyl]-[5-(6,7-  
dimethoxy-quinazolin-4-yloxy)-benzoxazol-2-yl]-amine;

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[4-Chloro-3-(3-dimethylamino-pyrrolidin-1-ylmethyl)-phenyl]-[5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)-benzoxazol-2-yl]-amine;  
 [4-Chloro-3-(1-isopropylpyrrolidin-2-ylmethoxy)-phenyl]-[5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)-benzoxazol-2-yl]-amine; and  
 [4-Chloro-3-(1-methyl-piperidin-4-ylmethoxy)-phenyl]-[5-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)-benzoxazol-2-yl]-amine; and  
~~[4-Chlorophenyl]-[5-(6,7-dimethoxyquinazolin-4-yloxy)-1H-benzimidazol-2-yl]-amine.~~

45. (Currently amended) Compound of Formula III

wherein W<sup>1</sup> and X are independently O or NH;

wherein R is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- b) substituted or unsubstituted 5-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-13 membered fused heterocyclyl,  
and
- d) substituted or unsubstituted cycloalkyl,

wherein substituted R is substituted with one or more substituents independently selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -C(O)NR<sup>3</sup>R<sup>3</sup>, -C(O)R<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, -NR<sup>3</sup>C(O)NR<sup>3</sup>R<sup>3</sup>, oxo, -OC(O)R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro and lower alkyl substituted with R<sup>6</sup>;

wherein R<sup>1a</sup> is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl, and where substituted R<sup>1a</sup> is substituted with one or more substituents selected from halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -C(O)R<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, optionally substituted 3-6 membered heterocyclyl,

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optionally substituted phenyl, nitro, cyano, oxo, and lower alkyl substituted with R<sup>6</sup>;

wherein R<sup>2</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -C(O)NR<sup>3</sup>R<sup>3</sup>, -C(O)R<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, -NR<sup>3</sup>C(O)NR<sup>3</sup>R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy, nitro, and lower alkyl substituted with R<sup>6</sup>;

wherein R<sup>3</sup> is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 3-6 membered heterocyclyl, optionally substituted C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, optionally substituted phenylalkyl, optionally substituted 3-6 membered heterocyclylalkyl, optionally substituted C<sub>3</sub>-C<sub>6</sub> cycloalkylalkyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

~~wherein R<sup>4</sup> is independently selected from H, and C<sub>1-3</sub>-alkyl, and~~

wherein R<sup>6</sup> is one or more substituents independently selected from H, halo, -OR<sup>3</sup>, -SR<sup>3</sup>, -CO<sub>2</sub>R<sup>3</sup>, -CONR<sup>3</sup>R<sup>3</sup>, -COR<sup>3</sup>, -NR<sup>3</sup>R<sup>3</sup>, -SO<sub>2</sub>R<sup>3</sup>, -SO<sub>2</sub>NR<sup>3</sup>R<sup>3</sup>, -NR<sup>3</sup>C(O)OR<sup>3</sup>, -NR<sup>3</sup>C(O)R<sup>3</sup>, -NR<sup>3</sup>C(O)NR<sup>3</sup>R<sup>3</sup>, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, cyano, alkylaminoalkoxy and nitro; enantiomers, diastereomers and pharmaceutically acceptable derivatives salts and solvates thereof.

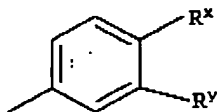
46. (Original) Compound of Claim 45 wherein R is a substituted or unsubstituted ring selected from phenyl, indanyl, tetrahydronaphthyl, naphthyl, cyclohexyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 2-oxo-1,2-dihydroquinol-7-yl, 1-oxo-1,2,3,4-tetrahydro-isoquinolyl, 2,3-dihydro-1,1-dioxo-benzo[d]isothiazolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, 2,3-dihydro-benzofuryl, benzodioxolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, 1,2,3,4-tetrahydro-isoquinolyl, tetrahydroquinolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R is substituted with 1-3 substituents independently selected from bromo, chloro,

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fluoro, iodo, nitro, amino, cyano, aminoethyl, hydroxy, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholin-4-ylmethyl, 4-methylpiperazin-1-ylmethyl, 4-isopropylpiperazin-1-ylmethyl, 4-methylpiperazin-1-ylpropyl, morpholin-4-ylpropyl, methylpiperidinylmethyl, morpholin-4-ylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidinylethyl, piperidinylmethyl, piperidinylpropyl, 4-(dimethylaminoethyl)piperazin-1-ylmethyl, 1-methylpyrrolidinylmethyl, pyrrolidinylpropyl, methylsulfonyl, methylcarbonyl, piperidinylmethylcarbonyl, methylpiperazinylcarbonylethyl, methoxycarbonyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, hydroxybutyl, difluoromethoxy, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminopropyl, dimethylaminoethoxy, diethylaminoethoxy, 4-chlorophenoxy, phenyloxy, 1-methylpiperdin-4-yloxy, piperdin-4-yloxy, piperidinylethoxy, morpholin-4-ylethyloxy, 4-methylpiperazin-1-ylethoxy, 4-isopropylpiperazinylethoxy, piperdin-4-ylmethoxy, 4-methylpiperdin-1-ylmethoxy, 1-methylpiperdin-4-ylmethoxy, 1-isopropylpiperdin-4-ylmethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 1-pyrrolidinylmethoxy, 1-pyrrolidinylethoxy, 1-methylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, 2-tetrahydrofurylmethoxy, isopropoxy, methoxy and ethoxy.

47. (Original) Compound of Claim 45 wherein R is



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wherein R<sup>x</sup> is selected from bromo, chloro, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, trifluoromethoxy, difluoromethoxy, isopropoxy, methoxy and ethoxy; and wherein R<sup>y</sup> is selected from H, 4-methylpiperazinylsulfonyl, trifluoromethyl, morpholinylmethyl, 4-methylpiperazinylmethyl, 3-dimethylaminopyrrolidin-1-ylmethyl, 4-methylpiperazinylpropyl, 4-isopropylpiperazinylmethyl, 4-methylpiperidinylmethyl, 4-aminopiperidinylmethyl, 4-methylamino-piperidinylmethyl, 4-dimethylamino-piperidinylmethyl, 1-methylpyrrolidin-2-ylmethyl, dimethylaminoethyl, dimethylaminoethoxy, piperidinylethoxy, morpholinylethoxy, 4-methylpiperazin-1-ylethoxy, 4-(dimethylaminoethyl)piperazin-1-ylmethyl, 4-isopropylpiperazinylmethoxy, piperdin-4-ylmethoxy, 4-methylpiperdin-1-ylmethoxy, 1-methylpiperdin-4-ylmethoxy, 1-isopropylpiperdin-4-ylmethoxy, 1-pyrrolidinylmethoxy, 1-pyrrolidinylethoxy, 1-methylpyrrolidin-2-ylmethoxy, 1-methylpyrrolidin-3-ylmethoxy, 1-isopropylpyrrolidin-2-ylmethoxy, 1-isopropylpyrrolidin-3-ylmethoxy, 3-(dimethylamino)pyrrolidin-1-ylethoxy, 2-tetrahydrofurylmethoxy, diethylaminoethoxy, 2-(N,N-dimethylamino)acetyl amino and 2-(N,N-dimethylamino)ethylamino.

48. (Original) Compound of Claim 45 wherein R<sup>1a</sup> is a substituted or unsubstituted ring selected from 6-indazolyl, 4-quinolyl, indolyl, isoindolyl, benzotriazolyl, benzo[1,3]dioxolyl, pyrrolo[2,3-d]pyrimidin-4-yl, 2-oxo-1,3-dihydro-pyrrolo[2,3-d]pyridin-4-yl, pyrazolo[2,3-b]pyridin-4-yl, imidazo[4,5-b]pyridin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, and 4-quinazolinyl; wherein substituted R<sup>1</sup> is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, methoxyethoxy, amino, methylamino, ethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, dimethylaminoethoxy, piperdinylmethoxy, piperdin-1-ylethoxy, morpholinoethoxy, pyrrolidin-1-ylethoxy, 4-methylpiperazin-1-ylethoxy, methylaminocarbonyl, 1-pyrrolidinylbutylaminocarbonyl,

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dimethylaminoethylamino, dimethylaminopropylamino, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, aminocarbonyl, nitro, trifluoromethyl, optionally substituted piperidinyl, morpholinyl, optionally substituted piperazinyl, and optionally substituted phenyl.

49. (Original) Compound of Claim 45 wherein R<sup>2</sup> is H or Cl.

50. (Currently amended) A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a compound as in any one of Claims 1-49.

51. (Canceled)

52. (Canceled)

53. (Canceled)

54. (Canceled)

55. (Canceled)

56. (Canceled)

57. (Canceled)

58. (Canceled)

59. (Canceled)

60. (Canceled)

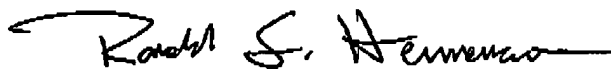


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Respectfully Submitted,

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